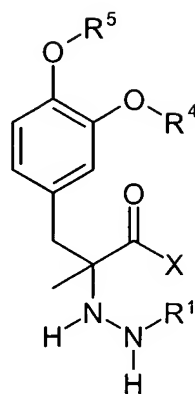


WHAT IS CLAIMED IS:

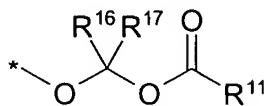
1. A compound of Formula (I):



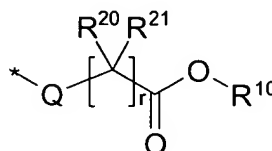
(I)

a stereoisomer thereof, an enantiomer thereof, a pharmaceutically acceptable salt thereof, a hydrate thereof, or a solvate of any of the foregoing, wherein:

X is selected from -OR¹⁰ and moieties of Formulae (II) and (III):



(II)



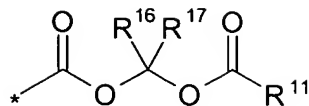
(III)

where:

r is an integer from 1 to 6;

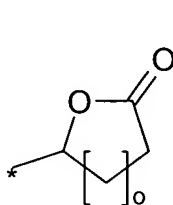
Q is O or -NR¹⁵;

R¹ is selected from hydrogen and a moiety comprising Formula (IX):

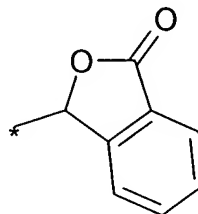


(IX)

R^4 and R^5 are independently selected from hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, heteroalkyl, substituted heteroalkyl, arylalkyl, substituted arylalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, substituted heteroarylalkyl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl, substituted cycloheteroalkyl, $-C(O)OR^{27}$, $-C(O)R^{27}$, $-(CR^{16}R^{17})OC(O)R^{11}$ and moieties of Formulae (XVII) and (XVIII):



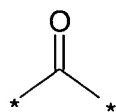
(XVII)



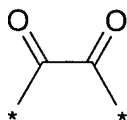
(XVIII)

wherein o is 1-3, and the cycloheteroalkyl rings in (XVII) and (XVIII) are optionally substituted with one or more groups selected from halo, CN, NO_2 , OH, C_{1-6} alkyl, and C_{1-6} alkoxy;

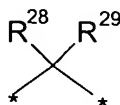
or R^4 and R^5 together form a structure selected from Formulae (XII) to (XVI):



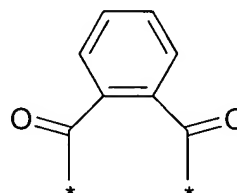
(XII)



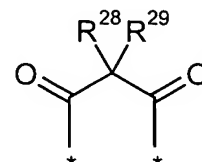
(XIII)



(XIV)



(XV)



(XVI)

wherein the aryl ring in Formula (XV) is optionally substituted with one or more groups selected from halo, CN, OH, C₁₋₆ alkyl, C₁₋₆ alkoxy, and -CO₂R³¹;

R¹⁰ is selected from hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl, substituted cycloheteroalkyl, heteroalkyl, substituted heteroalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, and substituted heteroarylalkyl;

R¹¹ is selected from hydrogen, alkyl, substituted alkyl, alkoxy, substituted alkoxy, aryl, substituted aryl, arylalkyl, substituted arylalkyl, cycloalkyl, substituted cycloalkyl, heteroalkyl, substituted heteroalkyl, cycloheteroalkyl, substituted cycloheteroalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, and substituted heteroarylalkyl, or optionally, R¹¹ and either R¹⁶ or R¹⁷, together with the atoms to which R¹¹, and either R¹⁶ or R¹⁷ are attached, form a cycloheteroalkyl or substituted cycloheteroalkyl ring, optionally to which is fused an aryl, substituted aryl, heteroaryl, substituted heteroaryl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl or substituted cycloheteroalkyl ring;

R¹⁵ is selected from hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, arylalkyl, and substituted arylalkyl;

R¹⁶ and R¹⁷ are independently selected from hydrogen, alkyl, substituted alkyl, alkoxycarbonyl, substituted alkoxycarbonyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, carbamoyl, substituted carbamoyl, cycloalkyl, substituted cycloalkyl, cycloalkoxycarbonyl, substituted cycloalkoxycarbonyl, cycloheteroalkyl, substituted cycloheteroalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, and substituted heteroarylalkyl or optionally, R¹⁶ and R¹⁷ together with the carbon atom to which R¹⁶ and R¹⁷ are attached form a cycloalkyl, substituted cycloalkyl, cycloheteroalkyl or substituted cycloheteroalkyl ring;

each R²⁰ and R²¹ is independently selected from hydrogen, alkyl, substituted alkyl, alkoxy, substituted alkoxy, acyl, substituted acyl, alkylamino, substituted alkylamino, alkylsulfinyl, substituted alkylsulfinyl, alkylsulfonyl, substituted alkylsulfonyl, alkylthio, substituted alkylthio, alkoxycarbonyl, substituted alkoxycarbonyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, aryloxy, substituted aryloxy, carbamoyl, substituted carbamoyl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl, substituted cycloheteroalkyl, dialkylamino, substituted dialkylamino, halo, heteroalkyl, substituted heteroalkyl, heteroaryl,

substituted heteroaryl, heteroarylalkyl, substituted heteroarylalkyl, heteroalkyloxy, substituted heteroalkyloxy, heteroaryloxy, and substituted heteroaryloxy, or optionally, when r is 1, then R^{20} and R^{21} together with the carbon atom to which R^{20} and R^{21} are attached form a cycloalkyl, substituted cycloalkyl, cycloheteroalkyl or substituted cycloheteroalkyl ring, or optionally when R^{20} and R^{15} are present and are attached to adjacent atoms then R^{15} and R^{20} together with the atoms to which R^{15} and R^{20} are attached form a cycloheteroalkyl or substituted cycloheteroalkyl ring;

R^{27} is selected from alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl, substituted cycloheteroalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, and substituted heteroarylalkyl;

R^{28} and R^{29} are independently selected from hydrogen, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkoxycarbonyl, substituted alkoxycarbonyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heteroalkyl, and substituted heteroalkyl; and

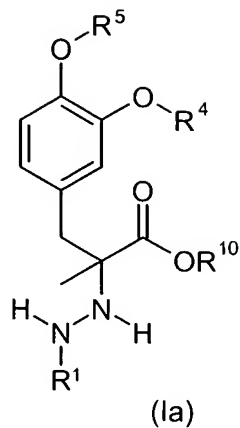
R^{31} is selected from hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl, substituted cycloheteroalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, and substituted heteroarylalkyl;

with the provisos that

when X is $-OR^{10}$, R^1 is hydrogen, and R^4 and R^5 are independently selected from hydrogen and C_{1-19} alkyl, C_{1-19} aryl or C_{1-19} arylalkyl, then R^{10} is not hydrogen or C_{1-6} alkyl; and

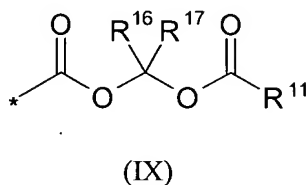
none of R^1 , R^4 , R^5 , R^{10} , R^{11} , R^{15} , R^{16} , R^{17} , R^{20} , R^{21} , R^{27} , R^{28} , R^{29} , and R^{31} comprise a bile acid moiety.

2. A compound of Formula (Ia):



a stereoisomer thereof, an enantiomer thereof, a pharmaceutically acceptable salt thereof, a hydrate thereof, or a solvate of any of the foregoing, wherein:

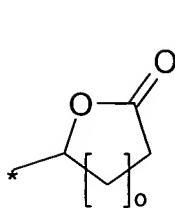
R^1 is selected from hydrogen and the structure of Formula (IX):



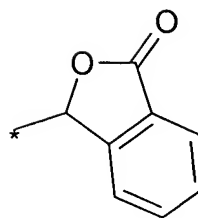
R^4 and R^5 are independently selected from hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, heteroalkyl, substituted heteroalkyl, arylalkyl, substituted arylalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, substituted heteroarylalkyl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl, substituted cycloheteroalkyl, $-C(O)OR^{27}$, $-C(O)R^{27}$, $-(CR^{16}R^{17})OC(O)R^{11}$ and moieties of Formulae (XVII) and (XVIII):

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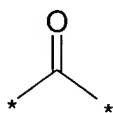
(XVII)



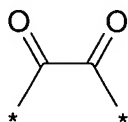
(XVIII)

wherein o is 1-3, and the cycloheteroalkyl rings in (XVII) and (XVIII) are optionally substituted with one or more groups selected from halo, CN, NO₂, OH, C₁₋₆ alkyl, and C₁₋₆ alkoxy;

or R⁴ and R⁵ together form a structure selected from Formulae (XII) to (XVI):



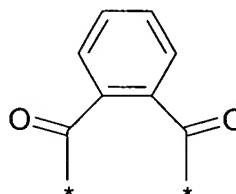
(XII)



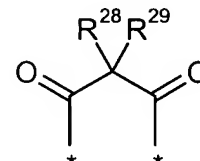
(XIII)



(XIV)



(XV)



(XVI)

wherein the aryl ring in Formula (XV) is optionally substituted with one or more groups selected from halo, CN, OH, C₁₋₆ alkyl, C₁₋₆ alkoxy, and -CO₂R³¹;

R¹⁰ is selected from hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl, substituted cycloheteroalkyl, heteroalkyl, substituted heteroalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, and substituted heteroarylalkyl;

R¹¹ is selected from hydrogen, alkyl, substituted alkyl, alkoxy, substituted alkoxy, aryl, substituted aryl, arylalkyl, substituted arylalkyl, cycloalkyl, substituted cycloalkyl, heteroalkyl, substituted heteroalkyl, cycloheteroalkyl, substituted cycloheteroalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, and substituted heteroarylalkyl, or optionally, R¹¹ and either R¹⁶ or R¹⁷, together with the atoms to which R¹¹, and either R¹⁶ or R¹⁷ are attached, form a first cycloheteroalkyl or substituted cycloheteroalkyl ring, to which

an aryl, substituted aryl, heteroaryl, substituted heteroaryl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl or substituted cycloheteroalkyl ring is optionally fused to said first cycloheteroalkyl or substituted cycloheteroalkyl ring;

R^{16} and R^{17} are independently selected from hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl, substituted cycloheteroalkyl, heteroarylalkyl, and substituted heteroarylalkyl or optionally, R^{16} and R^{17} together with the carbon atoms to which R^{16} and R^{17} are attached form a cycloalkyl, substituted cycloalkyl, cycloheteroalkyl or substituted cycloheteroalkyl ring;

R^{27} is selected from hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl, substituted cycloheteroalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, and substituted heteroarylalkyl;

R^{28} and R^{29} are independently selected from hydrogen, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkoxycarbonyl, substituted alkoxycarbonyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heteroalkyl, and substituted heteroalkyl; and

R^{31} is selected from hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl, substituted cycloheteroalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, and substituted heteroarylalkyl;

with the provisos that

when R^1 hydrogen, and R^4 and R^5 are independently selected from hydrogen, C_{1-19} alkyl, C_{1-19} aryl or C_{1-19} arylalkyl, then R^{10} is not hydrogen or C_{1-6} alkyl; and

none of R^1 , R^4 , R^5 , R^{10} , R^{11} , R^{15} , R^{16} , R^{17} , R^{27} , R^{28} , R^{29} , and R^{31} comprise a bile acid moiety.

3. A compound according to claim 2, wherein R^4 and R^5 are independently selected from moieties of Formula (XVII) and (XVIII).

4. A compound according to claim 2, wherein R^1 is hydrogen.

5. A compound according to claim 2, wherein R¹ is a moiety comprising Formula (IX).

6. A compound according to claim 2, wherein R⁴ and R⁵ are independently selected from hydrogen, alkanyl, substituted alkanyl, arylalkanyl, substituted arylalkanyl, heteroarylalkanyl, substituted heteroarylalkanyl, cycloalkanyl, substituted cycloalkanyl, cycloheteroalkanyl, and substituted cycloheteroalkanyl.

7. A compound according to claim 2, wherein R⁴ and R⁵ are independently selected from hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, *sec*-butyl, *tert*-butyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, benzyl and pyridyl, where the aryl rings of the benzyl and pyridyl groups are optionally substituted with one or more substituents selected from halo, CN, NO₂, OH, C₁₋₆ alkyl, C₁₋₆ alkoxy, and -CO₂R³¹.

8. A compound according to claim 2, wherein R⁴ and R⁵ are independently selected from hydrogen, -C(O)OR²⁷, and -C(O)R²⁷.

9. A compound according to claim 8, wherein R²⁷ is selected from C₁₋₁₀ alkyl, substituted C₁₋₁₀ alkyl, C₅₋₈ aryl, substituted C₅₋₈ aryl, C₆₋₁₀ arylalkyl, and substituted C₆₋₁₀ arylalkyl.

10. A compound according to claim 2, wherein R⁴ and R⁵ are independently -C(O)OR²⁷ or -C(O)R²⁷.

11. A compound according to claim 10, wherein R²⁷ is selected from C₁₋₁₀ alkyl, substituted C₁₋₁₀ alkyl, C₅₋₈ aryl, substituted C₅₋₈ aryl, C₆₋₁₀ arylalkyl, and substituted C₆₋₁₀ arylalkyl.

12. A compound according to claim 2, wherein R²⁷ is selected from alkanyl, substituted alkanyl, cycloalkanyl, substituted cycloalkanyl, arylalkanyl, substituted arylalkanyl, heteroarylalkanyl, and substituted heteroarylalkanyl.

13. A compound according to claim 2, wherein R²⁷ is selected from methyl, ethyl, propyl, isopropyl, butyl, isobutyl, *sec*-butyl, *tert*-butyl, cyclopropyl, cyclobutyl, cyclopentyl,

cyclohexyl, and benzyl where the aryl ring of the benzyl group is optionally substituted with one or more substituents selected from halo, CN, NO₂, OH, C₁₋₆ alkyl, C₁₋₆ alkoxy, and -CO₂R³¹.

14. A compound according to claim 2, wherein R²⁷ is selected from aryl, substituted aryl, heteroaryl, and substituted heteroaryl.

15. A compound according to claim 2, wherein R²⁷ is selected from phenyl, pyridyl, furyl, and thienyl, the aromatic rings of which are optionally substituted with one or more substituents selected from halo, CN, NO₂, OH, C₁₋₆ alkyl, C₁₋₆ alkoxy, and -CO₂R³¹.

16. A compound according to claim 2, wherein R²⁷ is selected from C₁₋₁₀ alkyl, substituted C₁₋₁₀ alkyl, C₅₋₈ aryl, substituted C₅₋₈ aryl, C₆₋₁₀ arylalkyl, and substituted C₆₋₁₀ arylalkyl.

17. A compound according to claim 2, wherein R⁴ and R⁵ are independently selected from hydrogen and -(CR¹⁶R¹⁷)OC(O)R¹¹.

18. A compound according to claim 17, wherein R¹¹ is selected from hydrogen, C₁₋₁₀ alkyl, substituted C₁₋₁₀ alkyl, C₅₋₈ aryl, substituted C₅₋₈ aryl, C₁₋₁₅ alkoxy, and substituted C₁₋₁₅ alkoxy.

19. A compound according to claim 17, wherein R¹⁶ and R¹⁷ are independently selected from hydrogen, C₁₋₁₆ alkyl, substituted C₁₋₁₆ alkyl, C₅₋₈ aryl, substituted C₅₋₈ aryl, C₆₋₁₀ arylalkyl, and substituted C₆₋₁₀ arylalkyl.

20. A compound according to claim 2, wherein R⁴ and R⁵ are both -(CR¹⁶R¹⁷)OC(O)R¹¹.

21. A compound according to claim 20, wherein R¹¹ is selected from hydrogen, C₁₋₁₀ alkyl, substituted C₁₋₁₀ alkyl, C₅₋₈ aryl, substituted C₅₋₈ aryl, C₁₋₁₅ alkoxy, and substituted C₁₋₁₅ alkoxy.

22. A compound according to claim 20, wherein R^{16} and R^{17} are independently selected from hydrogen, C_{1-16} alkyl, substituted C_{1-16} alkyl, C_{5-8} aryl, substituted C_{5-8} aryl, C_{6-10} arylalkyl, and substituted C_{6-10} arylalkyl.

23. A compound according to claim 2, wherein R^4 and R^5 together with the atoms to which R^4 and R^5 are attached are incorporated into a benzo-fused heterocyclic ring of Formula (XIV).

24. A compound according to claim 2, wherein R^{10} is selected from hydrogen, alkanyl, substituted alkanyl, arylalkanyl, substituted arylalkanyl, cycloalkanyl, substituted cycloalkanyl, cycloheteroalkanyl, substituted cycloheteroalkanyl, heteroarylalkanyl, and substituted heteroarylalkanyl.

25. A compound according to claim 2, wherein R^{10} is selected from hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, *sec*-butyl, *tert*-butyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenoxyethyl, carboxymethyl, carboxyethyl, carboxypropyl, carboxybutyl, and benzyl, and wherein said moieties are optionally substituted with one or more substituents selected from halo, CN, NO_2 , OH, C_{1-6} alkyl, C_{1-6} alkoxy, and $-CO_2R^{31}$.

26. A compound according to claim 2, wherein R^{10} is selected from hydrogen, aryl, substituted aryl, heteroaryl, and substituted heteroaryl.

27. A compound according to claim 2, wherein R^{10} is selected from phenyl and substituted phenyl, and where said moieties are optionally substituted with one or more substituents are selected from halo, CN, NO_2 , OH, C_{1-6} alkyl, C_{1-6} alkoxy, and $-CO_2R^{31}$.

28. A compound according to claim 2, wherein R^{10} is selected from C_{1-10} alkyl, substituted C_{1-10} alkyl, C_{5-8} aryl, substituted C_{5-8} aryl, $-R^{32}OC(O)R^{37}$, and $-R^{32}OC(O)OR^{37}$, where R^{32} is selected from C_{1-10} alkylene, substituted C_{1-10} alkylene, C_{5-8} arylene, substituted C_{5-8} arylene, C_{6-10} arylalkylene, and substituted C_{6-10} arylalkylene, and R^{37} is selected from C_{1-10} alkyl, substituted C_{1-10} alkyl, C_{5-8} aryl, substituted C_{5-8} aryl, C_{6-10} arylalkyl, and substituted C_{6-10} arylalkyl.

29. A compound according to claim 2, wherein R^{11} is selected from hydrogen, alkanyl, substituted alkanyl, alkenyl, substituted alkenyl, arylalkanyl, substituted arylalkanyl, arylalkenyl, substituted arylalkenyl, cycloalkanyl, substituted cycloalkanyl, heteroarylalkanyl, and substituted heteroarylalkanyl.

30. A compound according to claim 2, wherein R^{11} is selected from methyl, ethyl, propyl, isopropyl, butyl, isobutyl, *sec*-butyl, *tert*-butyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and styryl, where the aryl ring of the styryl group is optionally substituted with one or more substituents selected from halo, CN, NO₂, OH, C₁₋₆ alkyl, C₁₋₆ alkoxy, and -CO₂R³¹.

31. A compound according to claim 2, wherein R^{11} is selected from aryl substituted aryl, heteroaryl, and substituted heteroaryl.

32. A compound according to claim 2, wherein R^{11} is selected from phenyl, pyridyl, indolyl, furyl, imidazolyl, and oxazolyl, the aromatic rings of which are optionally substituted with one or more substituents selected from halo, CN, NO₂, OH, C₁₋₆ alkyl, C₁₋₆ alkoxy, and -CO₂R³¹.

33. A compound according to claim 2, wherein R^{11} is selected from hydrogen, C₁₋₁₀ alkyl, substituted C₁₋₁₀ alkyl, C₅₋₈ aryl, substituted C₅₋₈ aryl, C₁₋₁₅ alkoxy, and substituted C₁₋₁₅ alkoxy.

34. A compound according to claim 2, wherein R^{11} is selected from methoxy, ethoxy, propoxy, isopropoxy, butoxy, isobutoxy, *sec*-butoxy, *tert*-butoxy, pentyloxy, hexyloxy, cyclopropoxy, cyclobutoxy, cyclopentyloxy, cyclohexyloxy, 2,6-dimethylcyclohexyloxy, fenchyloxy, and adamantyloxy.

35. A compound according to claim 2, wherein R^{11} and either R^{16} or R^{17} , together with the atoms to which R^{11} , and either R^{16} or R^{17} are attached, form a cycloalkyl, substituted cycloalkyl, cycloheteroalkyl or substituted cycloheteroalkyl ring, to which an aryl, substituted aryl, heteroaryl or substituted heteroaryl ring is optionally fused to said cycloalkyl, substituted cycloalkyl, cycloheteroalkyl or substituted cycloheteroalkyl ring.

36. A compound according to claim 2, wherein R¹⁶ and R¹⁷ are independently selected from hydrogen, alkanyl, substituted alkanyl, cycloalkanyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkanyl, and substituted arylalkanyl.

37. A compound according to claim 2, wherein R¹⁶ and R¹⁷ are independently selected from hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, *sec*-butyl, *tert*-butyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, and benzyl, where the aryl ring of the phenyl and the benzyl groups is optionally substituted with one or more substituents selected from halo, CN, NO₂, OH, C₁₋₆ alkyl, C₁₋₆ alkoxy, and -CO₂R³¹.

38. A compound according to claim 2, wherein R¹⁶ and R¹⁷ together with the carbon atom to which R¹⁶ and R¹⁷ are attached form a cycloalkanyl, substituted cycloalkanyl, cycloheteroalkanyl or substituted cycloheteroalkanyl ring.

39. A compound according to claim 2, wherein R¹⁶ and R¹⁷ together with the carbon atom to which R¹⁶ and R¹⁷ are attached form a cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl ring.

40. A compound according to claim 2, wherein R¹⁶ and R¹⁷ are independently selected from hydrogen, C₁₋₁₆ alkyl, substituted C₁₋₁₆ alkyl, C₅₋₈ aryl, substituted C₅₋₈ aryl, C₆₋₁₀ arylalkyl, and substituted C₆₋₁₀ arylalkyl.

41. A compound according to claim 2, wherein R²⁸ and R²⁹ are independently selected from hydrogen, alkanyl, aryl, and alkoxycarbonyl.

42. A compound according to claim 2, wherein R²⁸ and R²⁹ are independently selected from hydrogen, methyl, ethyl, propyl, butyl, phenyl, methoxycarbonyl, and ethoxycarbonyl.

43. A compound according to claim 2, wherein R²⁸ and R²⁹ are both hydrogen.

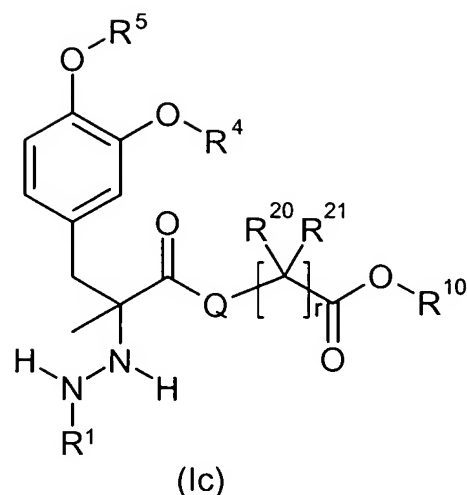
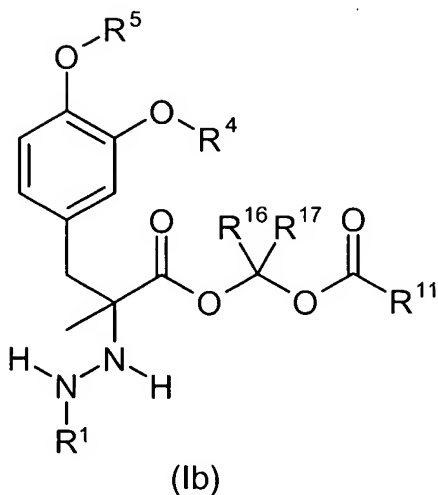
44. A compound according to claim 2, wherein R³¹ is selected from hydrogen, C₁₋₈ alkyl, and cycloalkyl.

45. A compound according to claim 2, wherein R^{31} is selected from hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, *sec*-butyl, *tert*-butyl, cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl.

46. A compound according to claim 2, wherein R^1 is hydrogen, and R^{10} is selected from C_{7-10} alkyl, substituted C_{7-10} alkyl, C_{5-8} aryl, substituted C_{5-8} aryl, $-R^{32}OC(O)R^{37}$, and $-R^{32}OC(O)OR^{37}$, where R^{32} is selected from C_{1-10} alkylene, substituted C_{1-10} alkylene, C_{5-8} arylene, substituted C_{5-8} arylene, C_{6-10} arylalkylene, and substituted C_{6-10} arylalkylene, and R^{37} is selected from C_{1-10} alkyl, substituted C_{1-10} alkyl, C_{5-8} aryl, substituted C_{5-8} aryl, C_{6-10} arylalkyl, and substituted C_{6-10} arylalkyl.

47. A compound according to claim 2, wherein R^1 is a moiety of Formula (IX), and R^{10} is selected from hydrogen, C_{1-10} alkyl, substituted C_{1-10} alkyl, C_{5-8} aryl, substituted C_{5-8} aryl, $-R^{32}OC(O)R^{37}$, and $-R^{32}OC(O)OR^{37}$, where R^{32} is selected from C_{1-10} alkylene, substituted C_{1-10} alkylene, C_{5-8} arylene, substituted C_{5-8} arylene, C_{6-10} arylalkylene, and substituted C_{6-10} arylalkylene, and R^{37} is selected from C_{1-10} alkyl, substituted C_{1-10} alkyl, C_{5-8} aryl, substituted C_{5-8} aryl, C_{6-10} arylalkyl, and substituted C_{6-10} arylalkyl.

48. A compound of Formulae (Ib) or (Ic):

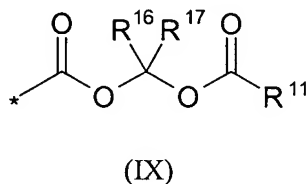


a stereoisomer thereof, an enantiomer thereof, a pharmaceutically acceptable salt thereof, a hydrate thereof, or a solvate of any of the foregoing, wherein:

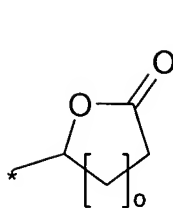
Q is O or $-\text{NR}^{15}$;

r is an integer from 1 to 6;

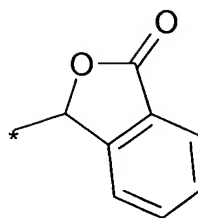
R^1 is selected from hydrogen, and a moiety comprising Formula (IX):



R^4 and R^5 are independently selected from hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, heteroalkyl, substituted heteroalkyl, arylalkyl, substituted arylalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, substituted heteroarylalkyl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl, substituted cycloheteroalkyl, $-\text{C(O)OR}^{27}$, $-\text{C(O)R}^{27}$, $-(\text{CR}^{16}\text{R}^{17})\text{OC(O)R}^{11}$ and moieties of Formulae (XVII) and (XVIII):



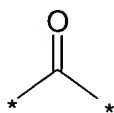
(XVII)



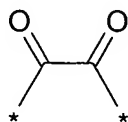
(XVIII)

wherein o is 1-3, and the cycloheteroalkyl rings in (XVII) and (XVIII) are optionally substituted with one or more groups selected from halo, CN, NO₂, OH, C₁₋₆ alkyl, and C₁₋₆ alkoxy;

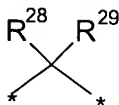
or R⁴ and R⁵ together form a structure selected from Formulae (XII) to (XVI):



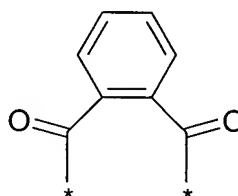
(XII)



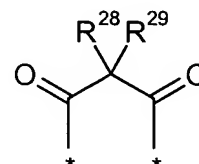
(XIII)



(XIV)



(XV)



(XVI)

wherein the aryl ring in Formula (XV) is optionally substituted with one or more groups selected from halo, CN, OH, C₁₋₆ alkyl, C₁₋₆ alkoxy, and -CO₂R³¹;

R¹⁰ is selected from hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl, substituted cycloheteroalkyl, heteroalkyl, substituted heteroalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, and substituted heteroarylalkyl;

R¹¹ is selected from hydrogen, alkyl, substituted alkyl, alkoxy, substituted alkoxy, aryl, substituted aryl, arylalkyl, substituted arylalkyl, cycloalkyl, substituted cycloalkyl, heteroalkyl, substituted heteroalkyl, cycloheteroalkyl, substituted cycloheteroalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, and substituted heteroarylalkyl, or optionally, R¹¹ and either R¹⁶ or R¹⁷, together with the atoms to which R¹¹, R¹⁶ and R¹⁷ are attached, form a cycloheteroalkyl or substituted cycloheteroalkyl ring, to which an aryl,

substituted aryl, heteroaryl, substituted heteroaryl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl or substituted cycloheteroalkyl ring is optionally fused to said cycloheteroalkyl or substituted cycloheteroalkyl ring;

R^{15} is selected from hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, arylalkyl, and substituted arylalkyl;

R^{16} and R^{17} are independently selected from hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl, substituted cycloheteroalkyl, heteroarylalkyl, and substituted heteroarylalkyl or optionally, R^{16} and R^{17} together with the carbon atoms to which R^{16} and R^{17} are attached form a cycloalkyl, substituted cycloalkyl, cycloheteroalkyl or substituted cycloheteroalkyl ring;

each R^{20} and R^{21} is independently selected from hydrogen, alkyl, substituted alkyl, alkoxy, substituted alkoxy, acyl, substituted acyl, alkylamino, substituted alkylamino, alkylsulfinyl, substituted alkylsulfinyl, alkylsulfonyl, substituted alkylsulfonyl, alkylthio, substituted alkylthio, alkoxycarbonyl, substituted alkoxycarbonyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, aryloxy, substituted aryloxy, carbamoyl, substituted carbamoyl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl, substituted cycloheteroalkyl, dialkylamino, substituted dialkylamino, halo, heteroalkyl, substituted heteroalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, substituted heteroarylalkyl, heteroalkyloxy, substituted heteroalkyloxy, heteroaryloxy, and substituted heteroaryloxy, or optionally, when r is 1, then R^{20} and R^{21} together with the carbon atom to which R^{20} and R^{21} are attached form a cycloalkyl, substituted cycloalkyl, cycloheteroalkyl or substituted cycloheteroalkyl ring, or optionally when R^{20} and R^{15} are present and are attached to adjacent atoms then R^{15} and R^{20} together with the atoms to which R^{15} and R^{20} are attached form a cycloheteroalkyl or substituted cycloheteroalkyl ring;

R^{27} is selected from hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl, substituted cycloheteroalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, and substituted heteroarylalkyl;

R^{28} and R^{29} are independently selected from hydrogen, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkoxycarbonyl, substituted alkoxycarbonyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heteroalkyl, and substituted heteroalkyl; and

R^{31} is selected from hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloheteroalkyl, substituted cycloheteroalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, and substituted heteroarylalkyl;

with the proviso that none of R^1 , R^4 , R^5 , R^{10} , R^{11} , R^{15} , R^{16} , R^{17} , R^{20} , R^{21} , R^{27} , R^{28} , R^{29} , and R^{31} comprise a bile acid moiety.

49. A compound according to claim 48, wherein R^4 and R^5 are independently selected moieties from Formulae (XVII), and (XVIII).

50. A compound according to claim 48 having Formula (Ic), wherein Q is O.

51. A compound according to claim 48 having Formula (Ic), wherein Q comprises $-NR^{15}$.

52. A compound according to claim 48 having Formulae (Ib) or (Ic), wherein R^1 is hydrogen.

53. A compound according to claim 48 having Formulae (Ib) or (Ic), wherein R^1 is a moiety comprising Formula (IX).

54. A compound according to claim 48 having Formulae (Ib) or (Ic), wherein R^4 and R^5 are independently selected from hydrogen, alkanyl, substituted alkanyl, arylalkanyl, substituted arylalkanyl, heteroarylalkanyl, substituted heteroarylalkanyl, cycloalkanyl, substituted cycloalkanyl, cycloheteroalkanyl, and substituted cycloheteroalkanyl.

55. A compound according to claim 48 having Formulae (Ib) or (Ic), wherein R^4 and R^5 are independently selected from hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, *sec*-butyl, *tert*-butyl, cyclopentyl, cyclohexyl, benzyl, and pyridyl, where the aryl

rings of the benzyl and pyridyl groups are optionally substituted with one or more substituents selected from halo, CN, NO₂, OH, C₁₋₆ alkyl, C₁₋₆ alkoxy and -CO₂R³¹.

56. A compound according to claim 48 having Formulae (Ib) or (Ic), wherein R⁴ and R⁵ are independently selected from hydrogen, -C(O)OR²⁷, and -C(O)R²⁷.

57. A compound according to claim 56, wherein R²⁷ is selected from C₁₋₁₀ alkyl, substituted C₁₋₁₀ alkyl, C₅₋₈ aryl, C₅₋₈ substituted aryl, C₆₋₁₀ arylalkyl, and substituted C₆₋₁₀ arylalkyl.

58. A compound according to claim 48 having Formulae (Ib) or (Ic), wherein R⁴ and R⁵ are both independently -C(O)OR²⁷ or -C(O)R²⁷.

59. A compound according to claim 58, wherein R²⁷ is selected from C₁₋₁₀ alkyl, substituted C₁₋₁₀ alkyl, C₅₋₈ aryl, C₅₋₈ substituted aryl, C₆₋₁₀ arylalkyl, and substituted C₆₋₁₀ arylalkyl.

60. A compound according to claim 48 having Formulae (Ib) or (Ic), wherein R²⁷ is selected from alkanyl, substituted alkanyl, cycloalkanyl, substituted cycloalkanyl, arylalkanyl, substituted arylalkanyl, heteroarylalkanyl, and substituted heteroarylalkanyl.

61. A compound according to claim 48 having Formulae (Ib) or (Ic), wherein R²⁷ is selected from methyl, ethyl, propyl, isopropyl, butyl, isobutyl, *sec*-butyl, *tert*-butyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and benzyl, where the aryl ring of the benzyl group is optionally substituted with one or more substituents selected from halo, CN, NO₂, OH, C₁₋₆ alkyl, C₁₋₆ alkoxy, and -CO₂R³¹.

62. A compound according to claim 48 having Formulae (Ib) or (Ic), wherein R²⁷ is selected from aryl, substituted aryl, heteroaryl, and substituted heteroaryl.

63. A compound according to claim 48 having Formulae (Ib) or (Ic), wherein R²⁷ is selected from phenyl, pyridyl, furyl, and thienyl, the aromatic rings of which are optionally substituted with one or more substituents selected from halo, CN, NO₂, OH, C₁₋₆ alkyl, C₁₋₆ alkoxy, and -CO₂R³¹.

64. A compound according to claim 48 having Formulae (Ib) or (Ic), wherein R^4 and R^5 are independently selected from hydrogen and $-(CR^{16}R^{17})OC(O)R^{11}$.

65. A compound according to claim 64, wherein R^{11} is selected from hydrogen, C_{1-10} alkyl, substituted C_{1-10} alkyl, C_{5-8} aryl, substituted C_{5-8} aryl, C_{1-15} alkoxy, and substituted C_{1-15} alkoxy.

66. A compound according to claim 64, wherein R^{16} and R^{17} are independently selected from hydrogen, C_{1-16} alkyl, substituted C_{1-16} alkyl, C_{5-8} aryl, substituted C_{5-8} aryl, C_{6-10} arylalkyl, and substituted C_{6-10} arylalkyl.

67. A compound according to claim 48 having Formulae (Ib) or (Ic), wherein R^4 and R^5 are both independently $-(CR^{16}R^{17})OC(O)R^{11}$.

68. A compound according to claim 67, wherein R^{11} is selected from hydrogen, C_{1-10} alkyl, substituted C_{1-10} alkyl, C_{5-8} aryl, substituted C_{5-8} aryl, C_{1-15} alkoxy, and substituted C_{1-15} alkoxy.

69. A compound according to claim 67, wherein R^{16} and R^{17} are independently selected from hydrogen, C_{1-16} alkyl, substituted C_{1-16} alkyl, C_{5-8} aryl, substituted C_{5-8} aryl, C_{6-10} arylalkyl, and substituted C_{6-10} arylalkyl.

70. A compound according to claim 48 having Formula (Ic), wherein R^{10} is selected from hydrogen, alkanyl, substituted alkanyl, arylalkanyl, substituted arylalkanyl, cycloalkanyl, substituted cycloalkanyl, cycloheteroalkanyl, heteroarylalkanyl and substituted heteroarylalkanyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl.

71. A compound according to claim 48 having Formula (Ic), wherein R^{10} is selected from hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, *sec*-butyl, *tert*-butyl, cyclopentyl, cyclohexyl, and benzyl, where the aryl ring of the benzyl group is optionally substituted with one or more substituents selected from halo, CN, NO_2 , OH, C_{1-6} alkyl, C_{1-6} alkoxy, and $-CO_2R^{31}$.

72. A compound according to claim 48 having Formula (Ic), wherein R¹⁰ is selected from hydrogen, methyl, and ethyl.

73. A compound according to claim 48 having Formula (Ic), wherein R¹⁰ is selected from hydrogen, C₁₋₁₀ alkyl, substituted C₁₋₁₀ alkyl, C₅₋₈ aryl, and substituted C₅₋₈ aryl.

74. A compound according to claim 48 having Formula (Ib), wherein R¹¹ is selected from alkanyl, substituted alkanyl, alkenyl, substituted alkenyl, arylalkanyl, substituted arylalkanyl, arylalkenyl, substituted arylalkenyl, cycloalkanyl, substituted cycloalkanyl, cycloheteroalkanyl, substituted cycloheteroalkanyl, heteroarylalkanyl, and substituted heteroarylalkanyl.

75. A compound according to claim 48 having Formula (Ib), wherein R¹¹ is selected from methyl, ethyl, propyl, isopropyl, butyl, isobutyl, *sec*-butyl, *tert*-butyl, pentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and styryl, where the aryl ring of the styryl group is optionally substituted with one or more substituents are selected from halo, CN, NO₂, OH, C₁₋₆ alkyl, C₁₋₆ alkoxy, and -CO₂R³¹.

76. A compound according to claim 48 having Formula (Ib), wherein R¹¹ is selected from aryl, substituted aryl, heteroaryl, and substituted heteroaryl.

77. A compound according to claim 48 having Formula (Ib), wherein R¹¹ is selected from phenyl, pyridyl, indolyl, furyl, imidazolyl, and oxazolyl, the aromatic rings of which are optionally substituted with one or more substituents selected from halo, CN, NO₂, OH, C₁₋₆ alkyl, C₁₋₆ alkoxy, and -CO₂R³¹.

78. A compound according to claim 48 having Formula (Ib), wherein R¹¹ is selected from hydrogen, C₁₋₁₀ alkyl, substituted C₁₋₁₀ alkyl, C₅₋₈ aryl, substituted C₅₋₈ aryl, C₁₋₁₅ alkoxy, and substituted C₁₋₁₅ alkoxy.

79. A compound according to claim 48 having Formula (Ib), wherein R¹¹ is selected from methoxy, ethoxy, propoxy, isopropoxy, butoxy, isobutoxy, *sec*-butoxy, *tert*-

butoxy, pentyloxy, hexyloxy, cyclopropoxy, cyclobutoxy, cyclopentyloxy, cyclohexyloxy, 2,6-dimethylcyclohexyloxy, fenchyloxy, and adamantyloxy.

80. A compound according to claim 48 having Formula (Ib), wherein R^{11} and either R^{16} or R^{17} , together with the atoms to which R^{11} and either R^{16} or R^{17} are attached, form a cycloalkyl, substituted cycloalkyl, cycloheteroalkyl or substituted cycloheteroalkyl ring, to which an aryl, substituted aryl, heteroaryl or substituted heteroaryl ring is optionally fused to said cycloheteroalkyl or substituted cycloheteroalkyl ring.

81. A compound according to claim 2, wherein R^1 is hydrogen, R^4 and R^5 are each $C(O)R^{27}$, R^{10} is selected from C_{1-4} alkyl, and R^{27} is selected from C_{1-4} alkyl.

82. A compound according to claim 81, wherein R^{27} is *tert*-butyl.

83. A compound according to claim 81, wherein R^{10} is methyl or ethyl.

84. A compound according to claim 48 having Formula (Ib), wherein R^1 is hydrogen, R^4 and R^5 are each $C(O)OR^{27}$, R^{16} is hydrogen, R^{27} is ethyl, R^{11} is selected from C_{1-4} alkyl, C_{1-4} alkoxy, cyclohexyloxy, 2,6-dimethylcyclohexyloxy, fenchyloxy, and adamantyloxy, and R^{17} is selected from hydrogen, and C_{1-4} alkyl.

85. A compound according to claim 84, wherein R^{17} is hydrogen.

86. A compound according to claim 84, wherein R^{17} is methyl.

87. A compound according to claim 48 having Formula (Ib), wherein R^1 is hydrogen, R^4 and R^5 are each $C(O)R^{27}$, R^{16} is hydrogen, R^{27} is isopropyl, R^{11} is selected from C_{1-4} alkyl, C_{1-4} alkoxy, cyclohexyloxy, 2,6-dimethylcyclohexyloxy, fenchyloxy, and adamantyloxy, and R^{17} is selected from hydrogen, and C_{1-4} alkyl.

88. A compound according to claim 87, wherein R^{17} is hydrogen.

89. A compound according to claim 87, wherein R^{17} is methyl.

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90. A compound according to claim 48 having Formula (Ib), wherein R¹ is hydrogen, R⁴ and R⁵ are each C(O)R²⁷, R¹⁶ is hydrogen, R²⁷ is *tert*-butyl, R¹¹ is selected from C₁₋₄ alkyl, C₁₋₄ alkoxy, cyclohexyloxy, 2,6-dimethylcyclohexyloxy, fenchyloxy, and adamantyloxy, and R¹⁷ is selected from hydrogen, and C₁₋₄ alkyl.

91. A compound according to claim 90, wherein R¹⁷ is hydrogen.

92. A compound according to claim 90, wherein R¹⁷ is methyl.

93. A compound according to claim 51 having Formula (Ic), wherein R¹⁵ is hydrogen.

94. A compound according to claim 51 having Formula (Ic), wherein R¹⁵ is selected from methyl, ethyl, propyl, isopropyl, butyl, isobutyl, and *sec*-butyl.

95. A compound according to claim 51 having Formula (Ic), wherein R¹⁵ is methyl.

96. A compound according to claim 48 having Formulae (Ib) or (Ic), wherein R¹⁶ and R¹⁷ are independently selected from hydrogen, alkanyl, substituted alkanyl, cycloalkanyl, substituted cycloalkanyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkanyl, and substituted arylalkanyl.

97. A compound according to claim 48 having Formulae (Ib) or (Ic), wherein R¹⁶ and R¹⁷ are independently selected from hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, *sec*-butyl, *tert*-butyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, and benzyl.

98. A compound according to claim 48 having Formulae (Ib) or (Ic), wherein R¹⁶ is hydrogen and R¹⁷ is selected from hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, *sec*-butyl, *tert*-butyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, and benzyl.

99. A compound according to claim 48 having Formulae (Ib) or (Ic), wherein R¹⁶ and R¹⁷ together with the carbon atoms to which R¹⁶ and R¹⁷ are attached form a cycloalkanyl, substituted cycloalkanyl, cycloheteroalkanyl or substituted cycloheteroalkanyl ring.

100. A compound according to claim 48 having Formulae (Ib) or (Ic), wherein R¹⁶ and R¹⁷ together with the carbon atoms to which R¹⁶ and R¹⁷ are attached form a cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl ring.

101. A compound according to claim 48 having Formulae (Ib) or (Ic), wherein R¹⁶ and R¹⁷ are independently selected from hydrogen, C₁₋₁₆ alkyl, substituted C₁₋₁₆ alkyl, C₅₋₈ aryl, substituted C₅₋₈ aryl, C₆₋₁₀ arylalkyl, and substituted C₆₋₁₀ arylalkyl.

102. A compound according to claim 48 having Formula (Ic), wherein each R²⁰ and R²¹ is independently selected from hydrogen, alkanyl, substituted alkanyl, arylalkanyl, substituted arylalkanyl, cycloalkanyl, substituted cycloalkanyl, cycloheteroalkanyl, substituted cycloheteroalkanyl, halo, heteroalkanyl, substituted heteroalkanyl, heteroarylalkanyl, and substituted heteroarylalkanyl.

103. A compound according to claim 48 having Formula (Ic), wherein each R²⁰ and R²¹ is independently selected from hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, *sec*-butyl, *tert*-butyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and benzyl.

104. A compound according to claim 48 having Formula (Ic), wherein each R²⁰ and R²¹ is independently selected from hydrogen, alkanyl, substituted alkanyl, arylalkanyl, substituted arylalkanyl, alkoxy, substituted alkoxy, alkylamino, substituted alkylamino, aryloxy, substituted aryloxy, dialkylamino, substituted dialkylamino, heteroalkyloxy, substituted heteroalkyloxy, heteroaryloxy, and substituted heteroaryloxy.

105. A compound according to claim 48 having Formula (Ic), wherein each R²⁰ and R²¹ is independently selected from hydrogen, alkoxy, alkylamino, aryloxy, dialkylamino, and heteroalkyloxy.

106. A compound according to claim 48 having Formula (Ic), wherein each R^{20} and R^{21} is independently selected from hydrogen, alkanyl, substituted alkanyl, arylalkanyl, substituted arylalkanyl, acyl, substituted acyl, alkoxycarbonyl, carbamoyl, and substituted carbamoyl.

107. A compound according to claim 48 having Formula (Ic), wherein each R^{20} and R^{21} is independently selected from hydrogen, acyl, substituted acyl, alkoxycarbonyl, carbamoyl, and substituted carbamoyl.

108. A compound according to claim 48 having Formula (Ic), wherein each R^{20} and R^{21} is independently selected from hydrogen, alkanyl, substituted alkanyl, arylalkanyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl.

109. A compound according to claim 48 having Formula (Ic), wherein each R^{20} and R^{21} is independently selected from hydrogen and phenyl, optionally substituted with one or more substituents selected from halo, CN, NO_2 , OH, C_{1-6} alkyl, C_{1-6} alkoxy, and $-\text{CO}_2\text{R}^{31}$.

110. A compound according to claim 48 having Formula (Ic), wherein r is 1 and R^{20} and R^{21} together with the carbon atoms to which R^{20} and R^{21} are attached form a cycloalkanyl, substituted cycloalkanyl, cycloheteroalkanyl or substituted cycloheteroalkanyl ring.

111. A compound according to claim 48 having Formula (Ic), wherein r is 1 and R^{20} and R^{21} together with the carbon atoms to which R^{20} and R^{21} are attached form a cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl ring.

112. A compound according to claim 48 having Formula (Ic), wherein each R^{20} and R^{21} is independently selected from hydrogen, C_{1-16} alkyl, substituted C_{1-16} alkyl, C_{5-8} aryl, substituted C_{5-8} aryl, C_{6-10} arylalkyl, and substituted C_{6-10} arylalkyl.

113. A compound according to claim 48 having Formula (Ib) or (Ic), wherein R^{28} and R^{29} are independently selected from hydrogen, alkanyl, aryl, and alkoxycarbonyl.

114. A compound according to claim 48 having Formula (Ib) or (Ic), wherein R²⁸ and R²⁹ are independently selected from hydrogen, methyl, ethyl, propyl, butyl, phenyl, methoxycarbonyl, and ethoxycarbonyl.

115. A compound according to claim 48, having Formula (Ib) or (Ic), wherein R²⁸ and R²⁹ are both hydrogen.

116. A compound according to claim 48 having Formulae (Ib) or (Ic), wherein R³¹ is selected from hydrogen and C₁₋₈ alkyl.

117. A compound according to claim 48 having Formulae (Ib) or (Ic), wherein R³¹ is selected from hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, *sec*-butyl, *tert*-butyl, cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl.

118. A pharmaceutical composition comprising at least one pharmaceutically acceptable excipient, and a therapeutically effective amount of at least one compound according to any one of claims 1, 2 or 48.

119. The pharmaceutical composition of claim 118, wherein the pharmaceutical composition further comprises at least one additional active agent.

120. The pharmaceutical composition of claim 119, wherein the at least one additional active agent is susceptible to decarboxylation, and the amount of the at least one compound is in an effective amount to inhibit decarboxylation of the at least one additional active agent.

121. The pharmaceutical composition of claim 119, wherein the at least one additional active agent is selected from levodopa and prodrugs of levodopa.

122. The pharmaceutical composition of claim 118, wherein the pharmaceutical composition is formulated for oral administration.

123. The pharmaceutical composition of claim 122, wherein the pharmaceutical composition is a sustained release formulation.

124. The pharmaceutical composition of claim 119, wherein the compound and the additional active agent comprise a single unit dosage form.

125. The pharmaceutical composition of claim 118, wherein the at least one compound is present in an amount effective for the treatment in a patient of a disease selected from Parkinson's disease, and hypertension.

126. A method of treating a disease in a patient, in need of such treatment, comprising administering to the patient a therapeutically effective amount of an active agent that is susceptible to decarboxylation, and at least one compound according to any of claims 1, 2 or 48.

127. The method of claim 126, wherein the disease is Parkinson's disease.

128. The method of claim 126, wherein, the disease is treated by a compound susceptible to decarboxylation.

129. The method of claim 126, wherein the active agent is selected from levodopa and prodrugs of levodopa.

130. A method of treating a disease in a patient in need of such treatment comprising administering to the patient a therapeutically effective amount of at least one compound according to any of claims 1, 2 or 48.

131. The method of claim 130, wherein the disease is hypertension.

132. A method of providing a therapeutically effective concentration of at least one active agent in the plasma of a patient, which active agent is susceptible to premature inactivation by decarboxylation, comprising co-administering to the patient the at least one active agent and the at least one compound according to any one of claims 1, 2 or 48.

133. The method of claim 132, wherein the active agent is selected from levodopa and prodrugs of levodopa.

134. A method of inhibiting decarboxylation of at least one active agent in a patient, comprising administering to the patient at least one compound according to any one of claims 1, 2 or 48.

135. The method of claim 134, wherein inhibiting decarboxylation comprises inhibiting a decarboxylase enzyme.

136. A carbidopa prodrug, analog or derivative thereof which, when co-administered with levodopa in the colon of a patient is taken up at a rate to achieve a bioavailability of levodopa at least 2-fold greater than the bioavailability of levodopa without coadministration of the carbidopa prodrug, analog, or derivative thereof.

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